A variety of therapeutic strategies in oncology are focused on the inhibition of tumor-induced angiogenesis. Thus, there is a keen interest in methods which allow non-invasive monitoring of molecular targets involved in angiogenesis which would support information for planning and controlling corresponding therapies. Moreover, such techniques would provide an insight into the formation of new sprouting blood vessels, the involved processes and regulatory mechanisms in patients. At the moment, development of radiotracer based techniques is mainly concentrated on three different targets which include peptidic and non-peptidic alpha v beta 3-integrin binding antagonists, matrix metalloproteinase inhibitors and single chain anti-fibronectin antibody fragments. Development of radiolabeled MMP inhibitors is based on either the decapeptide Cys-Thr-Thr-His-Trp-Gly-Phe-Thr-Leu-Cys resulting from a phage display library or small molecular weight compounds. The in vitro data for these tracers are very promising. However, more detailed in vivo data are necessary to evaluate the potency of MMP-inhibitors for in-vivo imaging. The radiolabelled anti-ED-B single chain antibody fragment scFv L-19 shows selective accumulation in the tumor vasculature in a murine tumour model. In a first patient study a selective localisation of the (123)I-labeled tracer in lesions of different tumours was found. On the basis of the lead structure
cyclo(-Arg-Gly-Asp-dPhe-Val) a variety of different radiolabeled RGD-peptides has been developed for the non-invasive determination of the alpha v beta 3 expression. These developments include peptides labeled with minimum structural alteration, peptide carbohydrate conjugates, peptidomimetics based on the RGD-structure as well as heterodimeric, homodimeric and homotetrameric ligand systems. Many of the tracers show high alpha v beta 3-affinity and selectivity in vitro and receptor selective tumour accumulation with high image contrast in different murine tumour models. Further studies have to demonstrate that this approach can be translated to clinical settings allowing visualisation of alpha v beta 3-positive tumours and alpha v beta 3 expression during tumour-induced angiogenesis in patients.